

27/01/2005

09807962.trn

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	4	OCT 28	KOREAPAT now available on STN
NEWS	5	NOV 30	PHAR reloaded with additional data
NEWS	6	DEC 01	LISA now available on STN
NEWS	7	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	11	DEC 17	SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	12	DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS	14	DEC 30	EPFULL: New patent full text database to be available on STN
NEWS	15	DEC 30	CAPLUS - PATENT COVERAGE EXPANDED
NEWS	16	JAN 03	No connect-hour charges in EPFULL during January and February 2005
NEWS	17	JAN 26	CA/CAPLUS - Expanded patent coverage to include the Russian Agency for Patents and Trademarks (ROSPATENT)
NEWS EXPRESS			JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
NEWS PHONE			Direct Dial and Telecommunication Network Access to STN
NEWS WWW			CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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27/01/2005

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 12:35:04 ON 27 JAN 2005

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 12:35:13 ON 27 JAN 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 JAN 2005 HIGHEST RN 820958-11-0

DICTIONARY FILE UPDATES: 26 JAN 2005 HIGHEST RN 820958-11-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

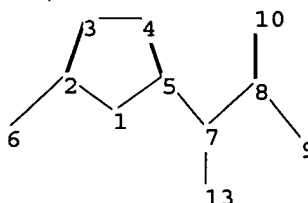
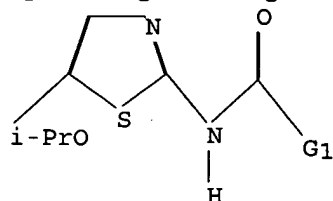
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\09807962.str



chain nodes :

6 7 8 9 10 13

ring nodes :

1 2 3 4 5

chain bonds :

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09807962.trn

2-6 5-7 7-8 7-13 8-9 8-10

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

3-4 4-5 5-7 7-8 8-9 8-10

exact bonds :

1-2 1-5 2-3 2-6 7-13

isolated ring systems :

containing 1 :

G1:Cb,Cy,Hy,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS

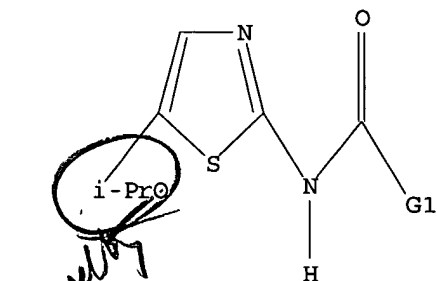
10:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cb,Cy,Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:35:33 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 4 TO 200

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 12:35:38 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 65 TO ITERATE

0 ANSWERS

27/01/2005

09807962.trn

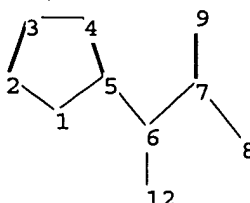
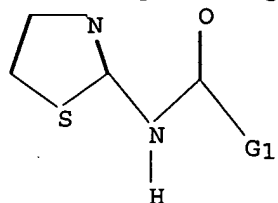
100.0% PROCESSED 65 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

L3 0 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\09807962a.str



chain nodes :
6 7 8 9 12
ring nodes :
1 2 3 4 5
chain bonds :
5-6 6-7 6-12 7-8 7-9
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
3-4 4-5 5-6 6-7 7-8 7-9
exact bonds :
1-2 1-5 2-3 6-12
isolated ring systems :
containing 1 :

G1:Cb,Cy,Hy,Ak

Match level :

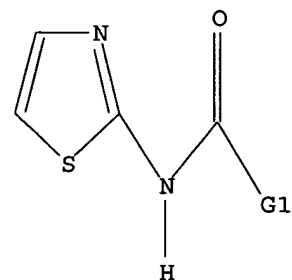
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
12:CLASS

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR



G1 Cb,Cy,Hy,Ak

27/01/2005

09807962.trn

Structure attributes must be viewed using STN Express query preparation.

=> s l4

SAMPLE SEARCH INITIATED 12:36:42 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4442 TO ITERATE

22.5% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 84844 TO 92836
PROJECTED ANSWERS: 58498 TO 65166

L5 50 SEA SSS SAM L4

=> s l4 sss full

FULL SEARCH INITIATED 12:36:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 88356 TO ITERATE

100.0% PROCESSED 88356 ITERATIONS
SEARCH TIME: 00.00.02

61019 ANSWERS

L6 61019 SEA SSS FUL L4

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
323.09	323.30

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 12:37:08 ON 27 JAN 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 27 Jan 2005 VOL 142 ISS 5
FILE LAST UPDATED: 26 Jan 2005 (20050126/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l6

L7 4504 L6

27/01/2005

09807962.trn

=> FIL REGISTRY
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.90	324.20

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:38:20 ON 27 JAN 2005
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provided by InfoChem.

STRUCTURE FILE UPDATES: 26 JAN 2005 HIGHEST RN 820958-11-0
DICTIONARY FILE UPDATES: 26 JAN 2005 HIGHEST RN 820958-11-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

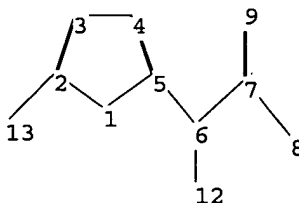
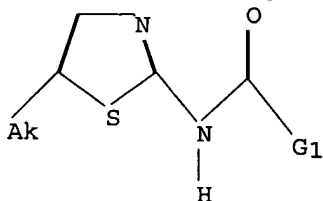
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\09807962b.str



chain nodes :

6 7 8 9 12 13

ring nodes :

1 2 3 4 5

chain bonds :

2-13 5-6 6-7 6-12 7-8 7-9

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

2-13 3-4 4-5 5-6 6-7 7-8 7-9

exact bonds :

1-2 1-5 2-3 6-12

isolated ring systems :

containing 1 :

G1:Cb,Cy,Hy,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
12:CLASS 13:CLASS

27/01/2005

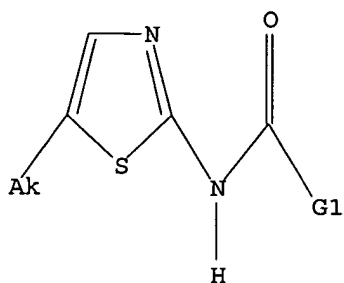
09807962.trn

L8 STRUCTURE UPLOADED

=> d l8

L8 HAS NO ANSWERS

L8 STR



G1 Cb,Cy,Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l8

SAMPLE SEARCH INITIATED 12:38:37 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 4442 TO ITERATE

22.5% PROCESSED 1000 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 84844 TO 92836

PROJECTED ANSWERS: 11192 TO 14216

L9 50 SEA SSS SAM L8

=> s l9 sss full

FULL SEARCH INITIATED 12:38:54 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 88356 TO ITERATE

100.0% PROCESSED 88356 ITERATIONS

SEARCH TIME: 00.00.02

14238 ANSWERS

L10 14238 SEA SSS FUL L8

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

161.33

485.53

FILE 'CAPLUS' ENTERED AT 12:39:01 ON 27 JAN 2005

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FILE COVERS 1907 - 27 Jan 2005 VOL 142 ISS 5
FILE LAST UPDATED: 26 Jan 2005 (20050126/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l10

L11 1319 L10

=> s l11 and py<=1998

18930403 PY<=1998

L12 487 L11 AND PY<=1998

=> s l12 and thu

140 THU

2210686 THUS

2210811 THU

(THU OR THUS)

L13 118 L12 AND THU

=> s l13 and p/dt

4618491 P/DT

L14 93 L13 AND P/DT

=> s l14 and pc/us

'US' IS NOT A VALID FIELD CODE

0 PC/US

L15 0 L14 AND PC/US

=> s l14 and us/pc

1345527 US/PC

L16 52 L14 AND US/PC

=> s l16 and proliferative

~~36169 PROLIFERATIVE~~

6 PROLIFERATIVES

36172 PROLIFERATIVE

(PROLIFERATIVE OR PROLIFERATIVES)

L17 0 L16 AND PROLIFERATIVE

=> s l16 and cancer

235471 CANCER

33742 CANCERS

244518 CANCER

(CANCER OR CANCERS)

L18 2 L16 AND CANCER

27/01/2005

09807962.trn

=> d his

(FILE 'HOME' ENTERED AT 12:35:04 ON 27 JAN 2005)

FILE 'REGISTRY' ENTERED AT 12:35:13 ON 27 JAN 2005

L1 STRUCTURE UPLOADED
L2 0 S L1
L3 0 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 50 S L4
L6 61019 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 12:37:08 ON 27 JAN 2005

L7 4504 S L6

FILE 'REGISTRY' ENTERED AT 12:38:20 ON 27 JAN 2005

L8 STRUCTURE UPLOADED
L9 50 S L8
L10 14238 S L9 SSS FULL

FILE 'CAPLUS' ENTERED AT 12:39:01 ON 27 JAN 2005

L11 1319 S L10
L12 487 S L11 AND PY<=1998
L13 118 S L12 AND THU
L14 93 S L13 AND P/DT
L15 0 S L14 AND PC/US
L16 52 S L14 AND US/PC
L17 0 S L16 AND PROLIFERATIVE
L18 2 S L16 AND CANCER

=> d l18 ibib abs hitstr tot

L18 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:682353 CAPLUS

DOCUMENT NUMBER: 129:302450

TITLE: Preparation of iodobenzamides as antineoplastic and antiviral agents

INVENTOR(S): Yatscoff, Randall W.; Foster, Robert T.; Naicker, Selvaraj

PATENT ASSIGNEE(S): Isotechnika, Inc., Can.

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9845253	A1	19981015	WO 1998-IB768	19980410 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2286186	AA	19981015	CA 1998-2286186	19980410 <--

27/01/2005

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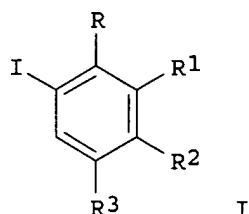
AU 9870742	A1	19981030	AU 1998-70742	19980410 <--
EP 973727	A2	20000126	EP 1998-917555	19980410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001521510	T2	20011106	JP 1998-542547	19980410
US 6225323	B1	20010501	US 1998-125173	19980811 <--
US 6306871	B1	20011023	US 2000-665654	20000919 <--
US 2003187015	A1	20031002	US 2002-303048	20021125 <--
US 6780995	B2	20040824		

PRIORITY APPLN. INFO.:

US 1997-43360P	P	19970410
US 1998-43360P	A	19980410
WO 1998-IB768	W	19980410
US 1998-125173	A1	19980811
US 2000-665654	A1	20000919
US 2001-925814	A1	20010810

OTHER SOURCE(S): MARPAT 129:302450

GI



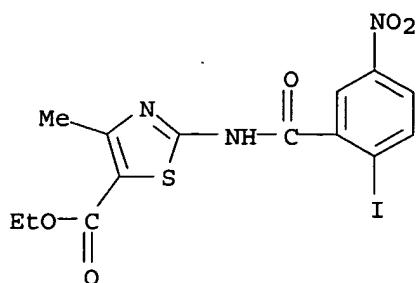
AB Title compds. [I; R = CONY (sic) wherein Y is a chelatings groups selected from the group of aliphatic, aromatic, heterocyclic, carbohydrate groups, and where Y and N together form a heterocyclic ring (sic); R1 = NO2 or NH2; R2,R3 = H, NO2, NH2;when R2 = NH2 R1 and R3 = H] having a chelating group, a thiol trapping group, and an activating group. The presumptive mechanism of action in preventing **cancer** cell and virus replication is through inhibition of the binding of transcription factors to Zn finger binding domains. Thus, I (R1 = R3 = H, R2 = NO2) (II; R = CO2H) was amidated by H2NCH2CH2NMe2 to give II (R = CONHCH2CH2NMe2). Data for biol. activity of I were given.

IT 214556-41-9P 214556-47-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of iodobenzamides as antineoplastic and antiviral agents)

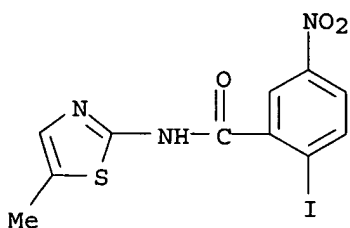
RN 214556-41-9 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[(2-iodo-5-nitrobenzoyl)amino]-4-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 214556-47-5 CAPLUS

CN Benzamide, 2-iodo-N-(5-methyl-2-thiazolyl)-5-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:99366 CAPLUS

DOCUMENT NUMBER: 124:146142

TITLE: Preparation of N-carboxyalkyl-2-benzoylimino-3-
alkylthiazoline-5-carboxamides having fibrinogen
receptor and cell adhesion factor antagonist activity

INVENTOR(S): Sato, Masakazu; Mannaka, Akira; Takahashi, Keiko;
Kawashima, Yutaka; Hatayama, Katsuo

PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

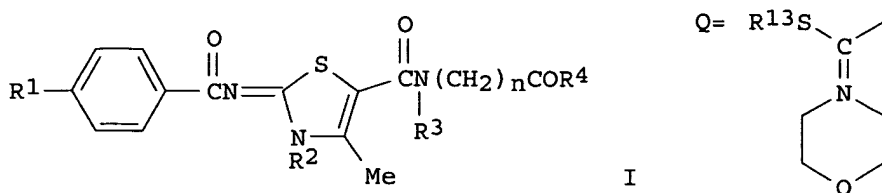
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 4

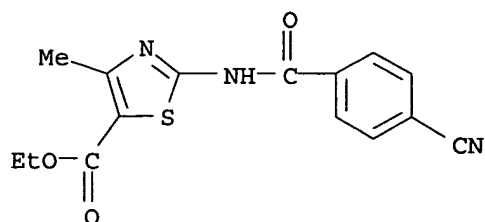
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07242647	A2	19950919	JP 1995-2241	19950110 <--
US 5478945	A	19951226	US 1995-371141	19950111 <--
JP 08099966	A2	19960416	JP 1995-3165	19950112 <--
PRIORITY APPLN. INFO.:			JP 1994-2588	A 19940114
			JP 1992-188335	A 19920715
			JP 1992-318402	A 19921127
			JP 1994-2272	A 19940114
			JP 1994-2722	A 19940114
			JP 1994-184846	A 19940805

OTHER SOURCE(S): MARPAT 124:146142
GI



- AB The title compds. [I; R1 = cyano, CONH2, thiocarbamoyl, alkylthioimidoyl, (un)substituted amidino, morpholinothiocarbonyl, HOCH2, Q; wherein R13 = alkyl; R2 = alkyl; n = 1-5; R3 = H, alkyl; R4 = OH, (un)substituted alkoxy, N,N-dialkylamino] and salts thereof are prepared. These compds. inhibit (a) the binding of adhesion proteins such as fibrinogen, fibronectin, and von Willebrand factor to fibrinogen receptors (GpIIb/IIIa) on blood platelets, (b) blood platelet aggregation and adhesion, and (c) the binding of above adhesion proteins and adhesion proteins forming cellular matrixes such as vitronectin and collagen to various cell surface, act upon cellular and cellular matrix interactions, and are useful for the treatment of ischemic diseases such as thrombotic diseases and brain and heart infarction, for prevention and treatment of arteriosclerosis, and as metastasis inhibitors of malignant tumors. Thus, Et 2-(4-cyanobenzoylimino)-4-methyl-3H-thiazole-5-carboxylate was treated with NaH in DMF and methylated by MeI to give Et 2-(4-cyanobenzoylimino)-3,4-dimethylthiazoline-5-carboxylate, which was saponified with a mixture of 10% aqueous NaOH, MeOH, and CH2Cl2 at room temperature for 17 h to sodium 2-(4-cyanobenzoylimino)-3,4-dimethyl-3H-thiazoline-5-carboxylate and condensed with Me β -alaninate hydrochloride using 1-hydroxybenzotriazole and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in DMF to give the title compound I (R1 = cyano, R2 = R3 = Me, n = 2, R4 = OMe). This was treated with H2S in Et3N and pyridine at room temperature for 19 h to give I [R1 = C(S)NH2, R2 = R3 = Me, n = 2, R4 = OMe], which was methylated by MeI in refluxing acetone and underwent ammonolysis with AcONH4 in refluxing MeOH to give I [R1 = C(:NH)NH2, R2 = R3 = Me, n = 2, R4 = OMe]. The latter compound was acylated by di-tert-Bu dicarbonate in THF containing Et3N and saponified with a mixture of 10% aqueous NaOH and MeOH to give I.Na [R1 = C(:NBoc)NH2, R2 = R3 = Me, n = 2, R4 = OH] (II). II showed IC50 of 16.8 nM for inhibiting the binding of 125I-labeled human fibrinogen to human blood platelets vs. 180,000 nM for the peptide H-Arg-Gly-Asp-Ser-OH which is related with the binding site of blood platelet membrane glycoprotein GPIIb/IIa to fibrinogen receptors.
- IT 159450-22-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-carboxyalkyl(benzoylimino)alkylthiazolinecarboxamides as fibrinogen receptor and cell adhesion factor antagonists)
- RN 159450-22-3 CAPLUS
- CN 5-Thiazolecarboxylic acid, 2-[(4-cyanobenzoyl)amino]-4-methyl-, ethyl ester (9CI) (CA INDEX NAME)



=> d 114 ibib abs hitstr 1-10

L14 ANSWER 1 OF 93 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:84600 CAPLUS

DOCUMENT NUMBER: 136:151161

TITLE: Preparation of 4-(heterocyclyl)benzenesulfonamides as components of a combination of a cyclooxygenase-2 inhibitors and a leukotriene B4 receptor antagonist

INVENTOR(S): Isakson, Peter C.; Anderson, Gary D.; Gregory, Susan A.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 489,415, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

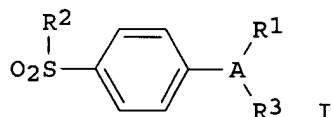
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

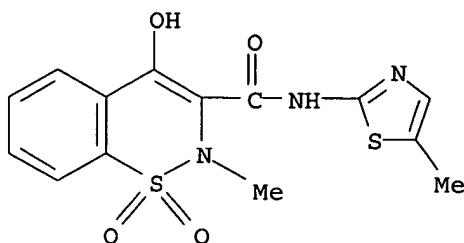
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6342510	B1	20020129	US 1996-661641	19960611
CA 2224563	AA	19961227	CA 1996-2224563	19960611 <--
US 2002107276	A1	20020808	US 2002-38080	20020103
PRIORITY APPLN. INFO.:			US 1995-489415	B2 19950612
			US 1996-661641	A1 19960611

OTHER SOURCE(S): MARPAT 136:151161
GI



AB The title compds. [I; A = (partially) unsatd. heterocyclyl or carbocyclyl; R1 = (un)substituted heterocyclyl, cycloalkyl, cycloalkenyl, aryl; R2 = Me, NH2; R3 = H, halo, alkyl, etc.] which are cyclooxygenase-2 inhibitors used in combination with a leukotriene B4 receptor antagonists for treatment of inflammation and inflammation-related disorders, were prepared and formulated. Thus, treating Et trifluoroacetate with NaOMe in Me tert-Bu ether followed by addition of 4'-chloroacetophenone (85%), and reacting the resulting 4,4,4-trifluoro-1-(4-chlorophenyl)butane-1,3-dione with 4-sulfonamidophenylhydrazine hydrochloride in EtOH afforded 4-[5-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-1-

yl]benzenesulfonamide (80%).
 IT 71125-38-7, Meloxicam
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (preparation of 4-(1H-pyrazol-1-yl)benzenesulfonamides as
 antiinflammatories)
 RN 71125-38-7 CAPLUS
 CN 2H-1,2-Benzothiazine-3-carboxamide, 4-hydroxy-2-methyl-N-(5-methyl-2-
 thiazolyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 93 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:630906 CAPLUS

DOCUMENT NUMBER: 135:195793

TITLE: Novel macrocyclic compounds as metalloprotease inhibitors

INVENTOR(S): Xue, Chu-bio; Decicco, Carl P.; Cherney, Robert J.; Arner, Elizabeth; Degrado, William F.; Duan, Jingwu; He, Xiaohua; Jacobson, Irina Cipora; Magolda, Ronald L.; Nelson, David

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: U.S., 118 pp., Cont.-in-part of U.S. Ser. No. 743,439, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6281352	B1	20010828	US 1997-856223	19970514
ZA 9609528	A	19980513	ZA 1996-9528	19961113 <--
CA 2287923	AA	19981119	CA 1998-2287923	19980514 <--
WO 9851665	A2	19981119	WO 1998-US9789	19980514 <--
WO 9851665	A3	19990325		
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9873853	A1	19981208	AU 1998-73853	19980514 <--
EP 981521	A2	20000301	EP 1998-921183	19980514
EP 981521	B1	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001524949	T2	20011204	JP 1998-539935	19980514
AT 229514	E	20021215	AT 1998-921183	19980514

27/01/2005

09807962.trn

ES 2189165
PRIORITY APPLN. INFO.:

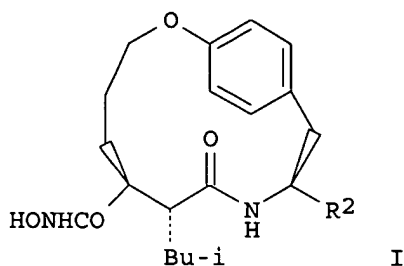
T3 20030701

ES 1998-921183
US 1995-6684P
US 1996-743439
US 1997-856223
WO 1998-US9789

19980514
P 19951114
B2 19961101
A 19970514
W 19980514

OTHER SOURCE(S):
GI

MARPAT 135:195793



AB Macrocyclic compds. I [R2 = H, CO2R5, CONR5R6, CONR6(OR5), (un)substituted alkyl, alkylaryl, alkylheteroaryl, alkylheterocyclyl, aryl, heteroaryl or heterocyclyl, where R5 is an alkyl chain of defined structure which may be interrupted by O, S or N and may be substituted by aryl, carbamoyl, heteroaryl or heterocyclyl groups and R6 is H, alkyl, alkylaryl, alkylheteroaryl, alkylheterocyclyl or alkylacyl; alternatively, R5 and R6 may form a 3-8 membered ring which is optionally unsatd. and contains 1-3 heteroatoms O, NR6, S, SO, SO2 or acyl and may be fused to an aryl group] were prepared as metalloprotease inhibitors. Pharmaceutical compds. comprising such compds. and methods of using these compds. for the treatment of inflammatory diseases are also described. **Thus**, 2S,5R,6S-3-aza-4-oxo-10-oxa-5-isobutyl-2-carboxy[10]paracyclophane-6-[N-(O-benzyl)carboxamide] was prepared by a multistep procedure from 3-(tert-butoxycarbonyl)-2(R)-isobutylpropanoic acid, allyl bromide, and tyrosine Me ester hydrochloride.

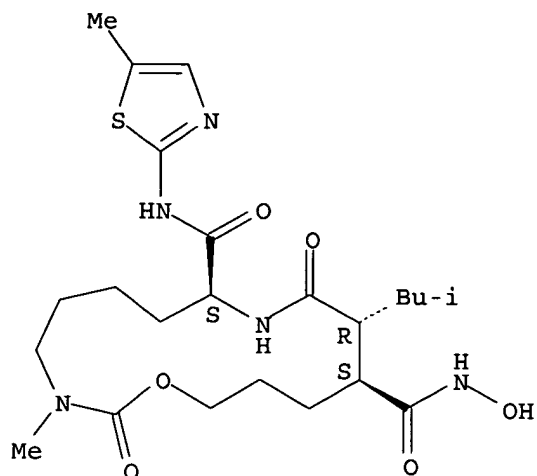
IT 191407-67-7P 191407-70-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(macrocyclic compds. as metalloprotease inhibitors)

RN 191407-67-7 CAPLUS

CN 1-Oxa-3,9-diazacyclopentadecane-8,12-dicarboxamide, N12-hydroxy-3-methyl-11-(2-methylpropyl)-N8-(5-methyl-2-thiazolyl)-2,10-dioxo-, (8S,11R,12S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191407-70-2 CAPLUS

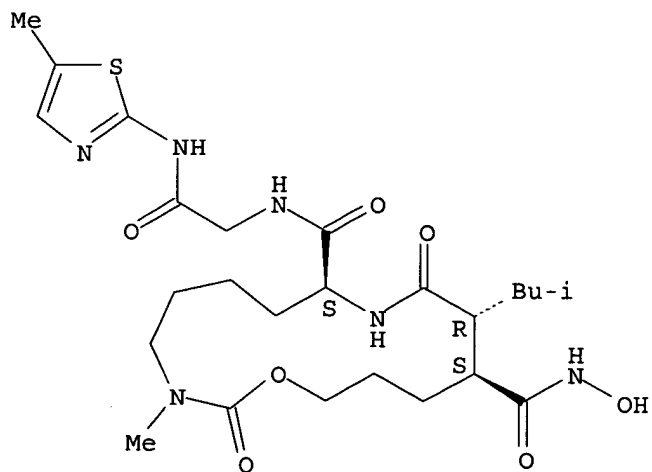
CN 1-Oxa-3,9-diazacyclopentadecane-8,12-dicarboxamide, N12-hydroxy-3-methyl-11-(2-methylpropyl)-N8-[2-[(5-methyl-2-thiazolyl)amino]-2-oxoethyl]-2,10-dioxo-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191407-69-9

CMF C25 H40 N6 O7 S

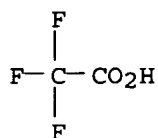
Absolute stereochemistry.



CM 2

CRN 76-05-1

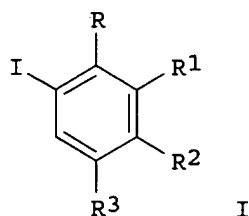
CMF C2 H F3 O2



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 93 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1998:682353 CAPLUS
 DOCUMENT NUMBER: 129:302450
 TITLE: Preparation of iodobenzamides as antineoplastic and antiviral agents
 INVENTOR(S): Yatscoff, Randall W.; Foster, Robert T.; Naicker, Selvaraj
 PATENT ASSIGNEE(S): Isotechnika, Inc., Can.
 SOURCE: PCT Int. Appl., 29 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9845253	A1	19981015	WO 1998-IB768	19980410 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2286186	AA	19981015	CA 1998-2286186	19980410 <--
AU 9870742	A1	19981030	AU 1998-70742	19980410 <--
EP 973727	A2	20000126	EP 1998-917555	19980410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001521510	T2	20011106	JP 1998-542547	19980410
US 6225323	B1	20010501	US 1998-125173	19980811
US 6306871	B1	20011023	US 2000-665654	20000919
US 2003187015	A1	20031002	US 2002-303048	20021125
US 6780995	B2	20040824		
PRIORITY APPLN. INFO.:			US 1997-43360P	P 19970410
			US 1998-43360P	A 19980410
			WO 1998-IB768	W 19980410
			US 1998-125173	A1 19980811
			US 2000-665654	A1 20000919
			US 2001-925814	A1 20010810
OTHER SOURCE(S):			MARPAT 129:302450	
GI				



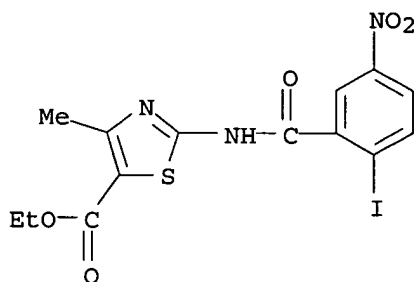
AB Title compds. [I; R = CONY (sic) wherein Y is a chelatings groups selected from the group of aliphatic, aromatic, heterocyclic, carbohydrate groups, and where Y and N together form a heterocyclic ring (sic); R1 = NO2 or NH2; R2,R3 = H, NO2, NH2;when R2 = NH2 R1 and R3 = H] having a chelating group, a thiol trapping group, and an activating group. The presumptive mechanism of action in preventing cancer cell and virus replication is through inhibition of the binding of transcription factors to Zn finger binding domains. Thus, I (R1 = R3 = H, R2 = NO2) (II; R = CO2H) was amidated by H2NCH2CH2NMe2 to give II (R = CONHCH2CH2NMe2). Data for biol. activity of I were given.

IT 214556-41-9P 214556-47-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of iodobenzamides as antineoplastic and antiviral agents)

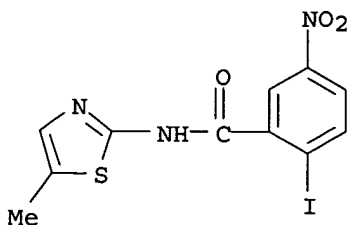
RN 214556-41-9 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[(2-iodo-5-nitrobenzoyl)amino]-4-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 214556-47-5 CAPLUS

CN Benzamide, 2-iodo-N-(5-methyl-2-thiazolyl)-5-nitro- (9CI) (CA INDEX NAME)



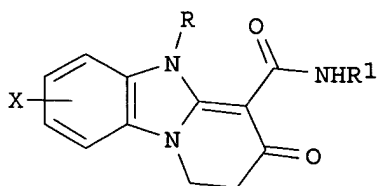
REFERENCE COUNT:

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THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 93 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1998:650037 CAPLUS
 DOCUMENT NUMBER: 129:290133
 TITLE: Preparation of 5-(heteroatom-containing alkyl) substituted 3-oxo-pyrido[1,2-a]benzimidazole-4-carboxamides for treating central nervous system disorders
 INVENTOR(S): Reitz, Allen B.; Jordan, Alfonzo D.; Sanfilippo, Pauline J.; Scott, Malcolm K.; Vavouyios-smith, Anna
 PATENT ASSIGNEE(S): Ortho Pharmaceutical Corporation, USA
 SOURCE: U.S., 26 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817668	A	19981006	US 1997-943578	19971003 <--
PRIORITY APPLN. INFO.:			US 1997-943578	19971003
OTHER SOURCE(S):	MARPAT	129:290133		
GI				

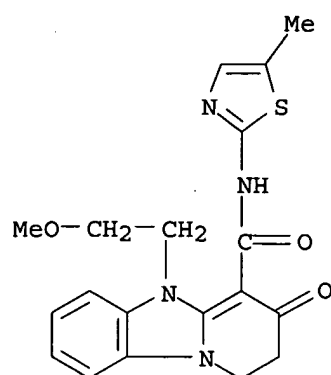


I

AB The title compds. [I; X = H, C1-8 alkyl, halo, etc.; R = (CH₂)_nNR₂R₃ (wherein n = 1-4; R₂, R₃ = H, C1-12 alkyl, C3-10 cycloalkyl, etc.; NR₂R₃ = cycloalkylamine, piperazine, morpholine, etc.), (CH₂)_nN(R₄)C(O)R₅ (wherein n = 1-4; R₄ = H, C1-12 alkyl, C3-10 cycloalkyl, etc.; R₅ = C1-12 alkyl, C3-10 cycloalkyl, heteroaryl, etc.), etc.; R₁ = (un)substituted Ph, heterocyclyl, C3-8 cycloalkyl] and their salts, useful in treating disorders of the central nervous system such as anxiety, convulsions, sleeplessness, muscle spasm, and benzodiazepine drug overdose, were prepared
Thus, treatment of carboxamide I [X = 7-F; R = H; R₁ = 2-FC₆H₄] with NaH in DMF followed by the addition of 15-crown-5, and then 2-(methoxy)ethoxymethyl chloride afforded I [X = 7-F; R = CH₂O(CH₂)₂OMe; R₁ = 2-FC₆H₄] which showed IC₅₀ of 0.80 nM against GABAA receptor binding.

IT **205701-15-1P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 5-(heteroatom-containing alkyl) substituted 3-oxo-pyrido[1,2-a]benzimidazole-4-carboxamides for treating central nervous system disorders)

RN 205701-15-1 CAPLUS
 CN Pyrido[1,2-a]benzimidazole-4-carboxamide, 1,2,3,5-tetrahydro-5-(2-methoxyethyl)-N-(5-methyl-2-thiazolyl)-3-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 93 CAPLUS COPYRIGHT 2005 ACS on STN.

ACCESSION NUMBER: 1998:479515 CAPLUS

DOCUMENT NUMBER: 129:95486

TITLE: Preparation of amidinophenyl(is)oxazolecaboxamides and analogs as factor Xa inhibitors

INVENTOR(S): Pruitt, James Russell; Fevig, John Matthew; Quan, Mimi Lifen; Pinto, Donald Joseph Phillip

PATENT ASSIGNEE(S): The Du Pont Merck Pharmaceutical Co., USA

SOURCE: PCT Int. Appl., 248 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

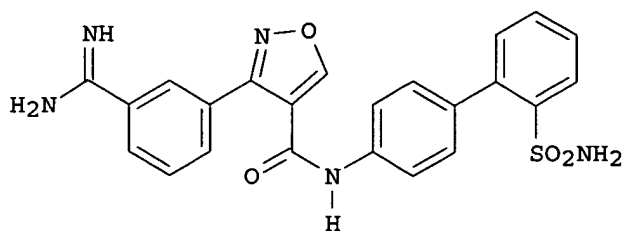
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9828282	A2	19980702	WO 1997-US23470	19971218 <--
WO 9828282	A3	19980917		
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2276034	AA	19980702	CA 1997-2276034	19971218 <--
AU 9866459	A1	19980717	AU 1998-66459	19971218 <--
EP 946528	A2	19991006	EP 1997-954988	19971218
EP 946528	B1	20030409		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001506271	T2	20010515	JP 1998-528962	19971218
AT 236890	E	20030415	AT 1997-954988	19971218
ES 2196396	T3	20031216	ES 1997-954988	19971218
PRIORITY APPLN. INFO.:				
			US 1996-771814	A 19961223
			US 1997-879763	A 19970620
			WO 1997-US23470	W 19971218

OTHER SOURCE(S): MARPAT 129:95486

GI



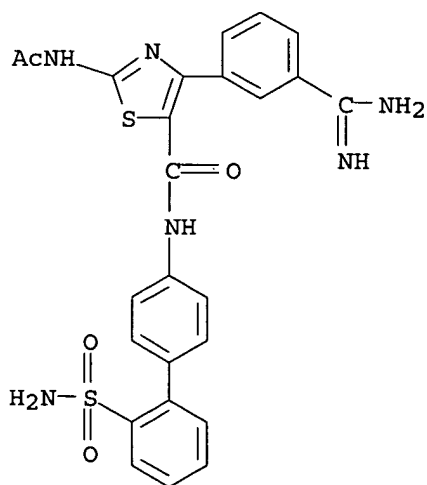
AB DEG(CH₂)_nZ1ZAB [I; A = (un)substituted carbo- or heterocyclylene; B = amino(alkyl), (un)substituted amidino(amino), carbo- or heterocyclyl, etc.; D = cyano, amino(alkyl), (un)substituted amidino(amino), etc.; E = phenylene, pyridinediyl, pyrimidinediyl, etc.; G = bond, NHCH₂, OCH₂, SCH₂; Z = alkylene, CH₂O, CO, CONH, etc.; Z1 = (un)substituted furandiyl, -thiophenediyl, oxazolediyl, etc.; n = 0-2] were prepared **Thus**, 3-(NC)C₆H₄C(:NOH)Cl was cyclocondensed with MeOCH:CHCO₂Me and the saponified product amidated by 4-(H₂N)C₆H₄C₆H₄(SO₂NHMe₃)-2 (preparation given) to give, after acid hydrolysis, title compound II. Data for biol. activity of I were given.

IT 209730-68-7P 209730-69-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenyl(is)oxazolecaboxamides and analogs as factor Xa inhibitors)

RN 209730-68-7 CAPLUS

CN 5-Thiazolecarboxamide, 2-(acetylaminomethyl)-4-[3-(aminoiminomethyl)phenyl]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 209730-69-8 CAPLUS

CN 5-Thiazolecarboxamide, 2-(acetylaminomethyl)-4-[3-(aminoiminomethyl)phenyl]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-, mono(trifluoroacetate) (9CI)
(CA INDEX NAME)

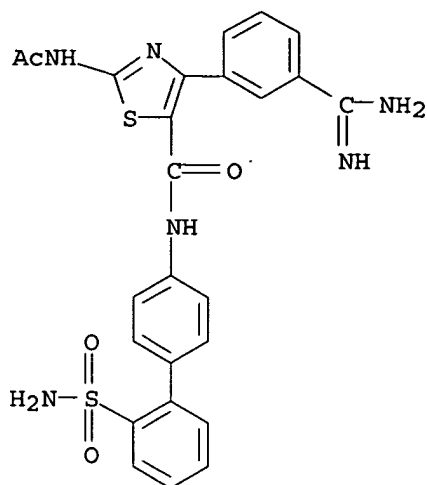
CM 1

CRN 209730-68-7

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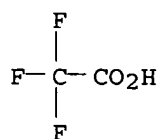
CMF C25 H22 N6 O4 S2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



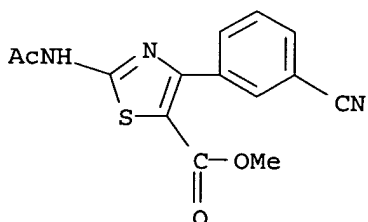
IT 209731-78-2P 209731-80-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amidinophenyl(is)oxazolecaboxamides and analogs as factor Xa inhibitors)

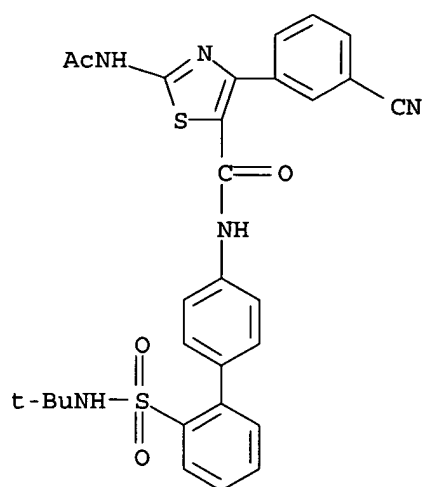
RN 209731-78-2 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-(acetyl-amino)-4-(3-cyanophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 209731-80-6 CAPLUS

CN 5-Thiazolecarboxamide, 2-(acetyl-amino)-4-(3-cyanophenyl)-N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]]- (9CI) (CA INDEX NAME)



L14 ANSWER 6 OF 93 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:298198 CAPLUS

DOCUMENT NUMBER: 129:21418

TITLE: Silver halide photographic material containing a dye having water-solubilizing group and its bright room processing

INVENTOR(S): Sudo, Susumu; Onishi, Akira; Miura, Norio

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 62 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10123666	A2	19980515	JP 1996-275983	19961018 <--
PRIORITY APPLN. INFO.:			JP 1996-275983	19961018

AB Claimed silver halide photog. material having a light-sensitive emulsion layer and a light-insensitive hydrophilic colloid layer on a support contains a compound D(AR)_m (I) where D is a dye moiety, A is aliphatic bivalent linkage, R is carboxy, sulfamoyl or sulfonamide group and m is an integer. Also claimed is the method for processing the material using a developer solution with the pH of ≤11.0. Preferable dyes (I) are carboxyalkyl-substituted cyanines, polymethyne dyes coupled with a heterocyclic group and a hydantoin group at the both terminals. The dye improves safety against room light, and has good solubility and is easily washed out of the material during processing, leaving no color stains. Consequently, the photog. material is suitable used for film-making process of photomech. printing. Thus, N-carboxyethyl-2,6-dioxo-3-(2-dimethylamino-5-furanyl-methylidene)-4-phenyl-5-ethoxycarbonyl-pyridine, N-carboxymethyl-2,4-dioxo-5-(p-dimethylaminophenyl)propenylidene-thiazoline, etc were successfully incorporated in the material shown in the example.

IT 207675-80-7

RL: DEV (Device component use); USES (Uses)

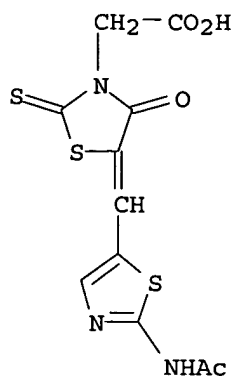
(photog. lith films containing dye having water-solubilizing group to reduce residual dye stain and its bright room processing)

27/01/2005

09807962.trn

RN 207675-80-7 CAPLUS

CN 3-Thiazolidineacetic acid, 5-[[2-(acetylamino)-5-thiazolyl]methylene]-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



L14 ANSWER 7 OF 93 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:239224 CAPLUS

DOCUMENT NUMBER: 128:282839

TITLE: 5-Heteroatom-containing alkyl substituted-3-oxo-pyrido(1,2-a) benzimidazole-4-carboxamide derivatives useful in treating central nervous system disorders

INVENTOR(S): Reitz, Allen B.; Jordan, Alfonzo D.; Sanfilippo, Pauline J.; Scott, Malcolm K.; Smith, Anna V.

PATENT ASSIGNEE(S): Ortho Pharmaceutical Corporation, USA

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815553	A1	19980416	WO 1997-US18045	19971003 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2267943	AA	19980416	CA 1997-2267943	19971003 <--
AU 9747473	A1	19980505	AU 1997-47473	19971003 <--
AU 719333	B2	20000504		
EP 935598	A1	19990818	EP 1997-909992	19971003
EP 935598	B1	20031210		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
NZ 335060	A	20000526	NZ 1997-335060	19971003
JP 2001501949	T2	20010213	JP 1998-517660	19971003
AT 256126	E	20031215	AT 1997-909992	19971003
PT 935598	T	20040331	PT 1997-909992	19971003
EP 1420018	A1	20040519	EP 2003-78326	19971003

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, FI

ES 2212081

T3

20040716

ES 1997-909992

19971003

ZA 9708934

A

19990406

ZA 1997-8934

19971006

PRIORITY APPLN. INFO.:

US 1996-27511P

P 19961007

EP 1997-909992

A3 19971003

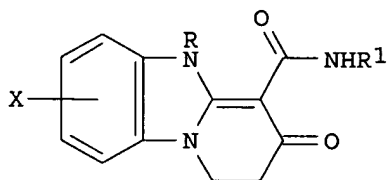
WO 1997-US18045

W 19971003

OTHER SOURCE(S):

MARPAT 128:282839

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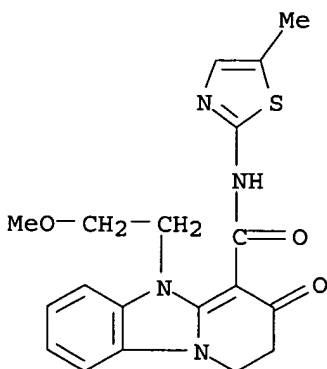
AB Compds. I [R = (CH₂)_nNR₂R₃, (CH₂)_nNR₄COR₅, (CH₂)_nNR₄SO₂R₆, etc.; R₁= (un)substituted Ph or heterocycle; R₂, R₃ = H, C1-12 alkyl, C1-8 alkoxy, etc.; R₄ = H, C1-12 alkyl, C3-10 cycloalkyl; R₅ = C1-12 alkyl, C3-10 cycloalkyl, perfluoro-C1-4 alkyl, etc.; R₆ = C1-12 alkyl, C3-10 cycloalkyl, C1-8 alkoxy, etc.; X = H, perfluoro(lower alkyl), halo, etc.; n = 1-4] or a pharmaceutically acceptable salt, solvate or hydrate thereof are prepared. Pharmaceutical compns. and methods of treatment are also disclosed. **Thus**, 7-fluoro-1,2-dihydro-5-(2-dimethylaminoethyl)-3-oxo-N-(2-fluorophenyl)pyrido[1,2-a]benzimidazole-4-carboxamide hydrochloride hydrate (4:4:1) was prepared and showed GABAA receptor binding IC₅₀ of 49.9 nM.

IT 205701-15-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-heteroatom-containing alkyl substituted-3-oxo-pyrido(1,2-a) benzimidazole-4-carboxamide derivs. for treatment of central nervous system disorders)

RN 205701-15-1 CAPLUS

CN Pyrido[1,2-a]benzimidazole-4-carboxamide, 1,2,3,5-tetrahydro-5-(2-methoxyethyl)-N-(5-methyl-2-thiazolyl)-3-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

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RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 93 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:157416 CAPLUS

DOCUMENT NUMBER: 128:204804

TITLE: Preparation of certain fused pyrrolocarboxamides as a new class of GABA brain receptor ligands

INVENTOR(S): Albaugh, Pamela; Liu, Gang; Hutchison, Alan

PATENT ASSIGNEE(S): Neurogen Corp., USA

SOURCE: U.S., 19 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

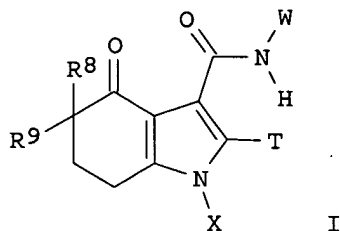
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5723462	A	19980303	US 1996-639166	19960426 <--
US 6096887	A	20000801	US 1998-31315	19980225
PRIORITY APPLN. INFO.:			US 1996-639166	A1 19960426
OTHER SOURCE(S):	MARPAT	128:204804		

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AB The title compds. [I; W = (un)substituted thiazolyl, quinoxaliny; X = H, OH, lower alkyl; T = H, halo, OH, etc.; R8 = H, C1-6 alkyl; R9 = H, Ph, pyridyl, etc.], highly selective agonists, antagonists or inverse agonists for GABA_A brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABA_A brain receptor and therefore useful in the diagnosis and treatment of anxiety, sleep and seizure disorders, overdose with benzodiazepine drugs and for enhancement of memory, were prepared
Thus, reaction of 4-oxo-4,5,6,7-tetrahydro-1H-indole-3-carboxylic acid with 2-methoxy-5-aminopyridine afforded I [X = H; T = H; W = 2-methoxy-5-pyridyl; R8 = R9 = H] which showed K_i of 11 nM against GABA_A receptor binding.

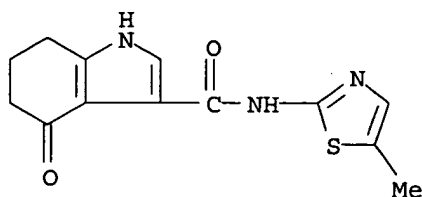
IT 202212-19-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of certain fused pyrrolocarboxamides as a new class of GABA brain receptor ligands)

RN 202212-19-9 CAPLUS

CN 1H-Indole-3-carboxamide, 4,5,6,7-tetrahydro-N-(5-methyl-2-thiazolyl)-4-oxo-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 93 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1998:98336 CAPLUS
 DOCUMENT NUMBER: 128:167718
 TITLE: Preparation of tetrapeptide derivatives of dolastatin as antitumor agents
 INVENTOR(S): Barlozzari, Teresa; Haupt, Andreas; Janssen, Bernd; Griesinger, Christian; Belik, Daniel; Boretzky, Michael
 PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
 SOURCE: PCT Int. Appl., 36 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9804278	A2	19980205	WO 1997-EP3898	19970721 <--
WO 9804278	A3	20030417		
W: AL, AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5939527	A	19990817	US 1996-688335	19960730
AU 9742965	A1	19980220	AU 1997-42965	19970721 <--
EP 920325	A2	19990609	EP 1997-918936	19970721
EP 920325	A3	20030604		
R: CH, DE, FR, GB, IT, LI, NL				
JP 2002512590	T2	20020423	JP 1998-508457	19970721
ZA 9706724	A	19990129	ZA 1997-6724	19970729
ZA 9706723	A	19990212	ZA 1997-6723	19970729
TW 491856	B	20020621	TW 1997-86110884	19970730
PRIORITY APPLN. INFO.:			US 1996-688335	A 19960730
			WO 1997-EP3898	W 19970721

OTHER SOURCE(S): MARPAT 128:167718
 AB Peptides A-B-NR3-CHD-CH(OCH3)-CH2CO-E-K (A is an amino acid residue, including N-methyl-D-prolyl, N-methyl-D-homoprolyl, and N,N-dimethyl-2-ethylphenylglycyl; B = valyl, isoleucyl, leucyl, or 2-tert-butylglycyl; D = alkyl; E is an amino acid residue, including prolyl, homoprolyl, 5-methylprolyl, and phenylalanyl; K = alkoxy, benzyloxy, substituted amino; R3 = H, Me) or their pharmaceutically acceptable salts were prepared as antitumor agents. Thus, (3S,4S)-4-[N-(N,N-dimethyl-L-valyl-L-valyl)-N-methylamino]-3-methoxy-5-methylhexanoylproline 2-thiazolyl amide was prepared by a multistep procedure leading to coupling of the hexanoic acid derivative with the amide obtained from Boc-proline and 2-aminothiazole. The in vitro cytotoxicity

of the product was determined ($IC_{50} = 6 \times 10^{-8} M$).

IT 203006-93-3P 203006-95-5P

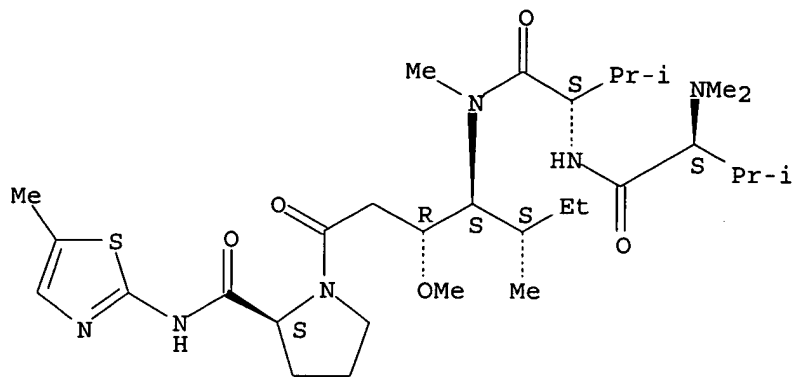
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrapeptide derivs. of dolastatin as antitumor agents)

RN 203006-93-3 CAPLUS

CN L-Prolinamide, N,N-dimethyl-L-valyl-L-valyl-(3R,4S,5S)-3-methoxy-5-methyl-4-(methylamino)heptanoyl-N-(5-methyl-2-thiazolyl)-(9CI) (CA INDEX NAME)

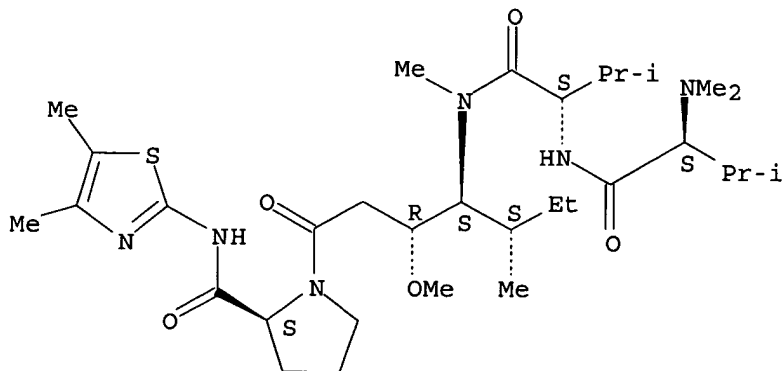
Absolute stereochemistry.



RN 203006-95-5 CAPLUS

CN L-Prolinamide, N,N-dimethyl-L-valyl-L-valyl-(3R,4S,5S)-3-methoxy-5-methyl-4-(methylamino)heptanoyl-N-(4,5-dimethyl-2-thiazolyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 10 OF 93 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:71132 CAPLUS

DOCUMENT NUMBER: 128:140608

TITLE: Preparation of fused pyrrolicarboxamides as a new class of GABA brain receptor ligands

INVENTOR(S): Albaugh, Pamela; Liu, Gang; Hutchison, Alan

PATENT ASSIGNEE(S): Neurogen Corporation, USA; Albaugh, Pamela; Liu, Gang; Hutchison, Alan

SOURCE: PCT Int. Appl., 58 pp.

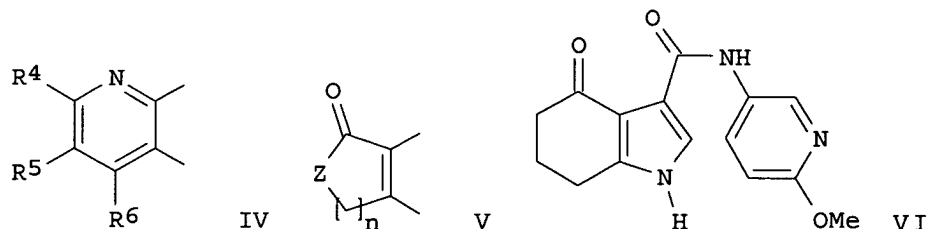
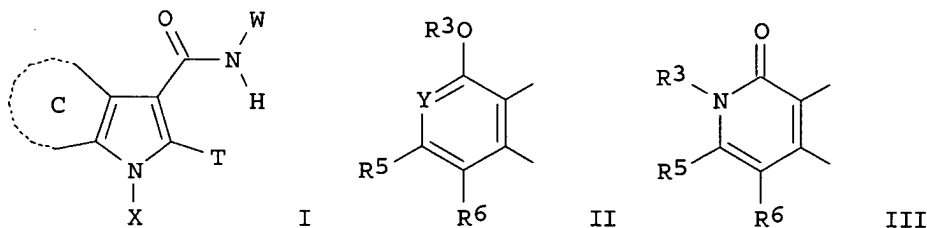
CODEN: PIXXD2

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DOCUMENT TYPE: **Patent**
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9802433	A1	19980122	WO 1997-US7830	19970509 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5750702	A	19980512	US 1996-683066	19960716 <--
AU 9728328	A1	19980209	AU 1997-28328	19970509 <--
AU 9923799	A1	19990603	AU 1999-23799	19990416
AU 729634	B2	20010208		
PRIORITY APPLN. INFO.:			US 1996-683066	A2 19960716
			US 1993-144138	A1 19931027
			AU 1994-81265	A3 19941026
			US 1995-473509	A2 19950607
			WO 1997-US7830	W 19970509
OTHER SOURCE(S):		MARPAT 128:140608		
GI				



AB The title compds. [I; T = H, OH, NO₂, etc.; X = H, OH, C1-6 alkyl; W = (un)substituted heteroaryl; ring C = II, III, IV, V (wherein Y = CR₄, N; Z = NR₇, CR₈R₉; n = 1-4; R₃ = H, Ph, pyridyl, etc.; R₄ = G, halo, CF₃, etc.; R₅, R₆ = H, halo, C1-6 alkyl, C1-6 alkoxy; R₇ = H, Ph, pyridyl, etc.; R₈ = H, C1-6 alkyl; R₉ = H, Ph, pyridyl, etc.)], highly selective agonists,

27/01/2005

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antagonists or inverse agonists for GABA_A brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABA_A brain receptors, were prepared. Compds. I are useful in the diagnosis and treatment of anxiety, sleep and seizure disorders, overdose with benzodiazepine drugs and for enhancement of memory. Thus, treatment of 4-oxo-4,5,6,7-tetrahydro-1H-indole-3-carboxylic acid with ClCO₂Et in the presence of Et₃N in DMF followed by addition of 2-methoxy-5-aminopyridine in DMF afforded the title compound VI which showed K_i of 11 nM against GABA_A receptor binding.

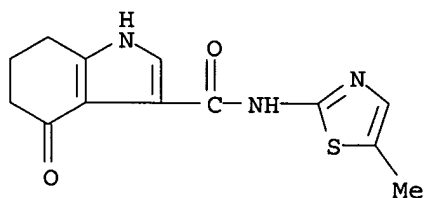
IT 202212-19-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused pyrrolecarboxamides as a new class of GABA brain receptor ligands)

RN 202212-19-9 CAPLUS

CN 1H-Indole-3-carboxamide, 4,5,6,7-tetrahydro-N-(5-methyl-2-thiazolyl)-4-oxo-(9CI) (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
72.87	558.40

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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STN INTERNATIONAL LOGOFF AT 12:42:08 ON 27 JAN 2005